TRENDS IN
PHARMACOLOGICAL
SCIENCES

REFERENCE EDITION

Volume 9

1988

CONTENTS

JANUARY

New bombesin antagonist shown to have 'encouraging profile', *Alan Cowan* 1

Current Awareness
A tachykinin peptide receptor joins an elite club, *Stephen H. Buck, Rebecca M. Pruss, John L. Krstenansky, Philip J. Robinson and Kenneth A. Stauderman* 3
Seizure-inducible c-fos protein(s) in mammalian neurons, *M. Dragunow and H. A. Robertson* 5

Current Techniques
Spectral analysis of fluctuations in cardiovascular parameters: a quantitative tool for the investigation of autonomic control, *Solange Akselrod* 6

Letters
Phenylalkylamines are promiscuous receptor blockers, *Paul A. Insel* 10
Protein pockets and anaesthesia, *Jim Elliott* 10
Molecular mechanism of general anaesthetic action? *C. N. Scholfield* 11
Multiple t-tests are appropriate in science, *John David Sinclair* 12

Viewpoint
Behavioral teratology: an inappropriate term for some uninterpretable effects, *Flaminio Cattabeni and Maria Pia Abbracchio* 13

Reviews
Feedback control of transmitter release at the neuromuscular junction, *W. C. Bowman, I. G. Marshall, A. J. Gibb and A. J. Harborne* 16
The pharmacology of potassium channels and their therapeutic potential, *Nigel S. Cook* 21
Data normalization before statistical analysis: keeping the horse before the cart, *Arthur A. Hancock, Eugene N. Bush, Dusanka Stanisic, John J. Kyncl and C. Thomas Lin* 29
Permissive hormone regulation of hormone-sensitive effector systems, *Craig C. Malbon, Peter J. Rapiejko and David C. Watkins* 33
HUMANS V. ANIMALS: Tissue specificity of dihydropyridine-type calcium antagonists in human isolated tissues, *T. Godfraind, N. Morel and M. Wibo* 37

Books
Online Databases in the Medical and Life Sciences, *produced by Cuadra/Elsevier* 40
Neurochemistry: a Practical Approach, *edited by A. J. Turner and H. S. Bachelard** 40
Neurochemistry: Fundamentals and Concepts, *by F. Hucho* 40
Drug Therapy for Asthma, Research and Clinical Practice, *edited by J. W. Jenne and S. Murphy* 41

FEBRUARY

Time and space – novel aspects of hormone action, *Colin W. Taylor* 43

Current Awareness
Antisense nucleic acids as a potential class of pharmaceutical agents, *David S. Loose-Mitchell* 45
Pharmacokinetics of alcohol and the law, *Peter V. Taberner* 47

This and That: on transport and translation, *B. Max* 48

Letter
Drug–receptor interactions and drug design, *Kelvin Cooper* 51

Comment
Early intravenous β-adrenoceptor blockade for victims of heart attack, *Peter Sleight* 52

***See Errata**

Reviews
Resistance to multiple chemotherapeutic agents in human cancer cells, *Michael M. Gottesman and Ira Pastan* 54
Neurobehavioral toxicity as a basis for risk assessment, *Bernard Weiss* 59
Protein tyrosine kinase substrates: Rosetta stones or simply structural elements? *M. D. Hollenberg, K. A. Valentine-Braun and J. K. Northup* 63
The involvement of platelets in non-thrombotic processes, *C. P. Page* 66
HUMANS V. ANIMALS: Use of human post-mortem cerebral blood vessels to study vasospasm, *Karsten Schrör and Raphaela Verheggen* 71

Books
New Edition 74

MARCH

Racemates: towards a New Year resolution? *Robert L. Smith and John Caldwell* 75

Drug Regulatory News 77

Current Awareness
Abnormalities of carbohydrate metabolism may play a role in the etiology and clinical course of hypertension, *Gerald M. Reaven and Brian B. Hoffman* 78
The making and shaking of memories, *Ivan Izquierdo* 79

Current Techniques
The isolated retina as a model of the CNS in pharmacology, *Jerzy Nowak* 80
Receptor-mediated control of neurotransmitter release from brain slices or synaptosomes, *D. N. Middlemiss* 83

Computers
Pharmacokinetic parameter estimation with a hand-held programmable calculator, *Graham D. Ogg* 85

Letters
Benzodiazepine terminology, *David Nutt* 86
Does biology duplicate itself? *Mihkel Zilmer and Lembit Rägo* 86
Robert Anholt replies 86

Viewpoint
Species differences in sensitivity of aortae to norepinephrine are related to α-adrenoceptor affinity, *John A. Bevan, Rosemary D. Bevan, K. Kite and M. A. Oriowo* 87

Reviews
Molecular pharmacology and biology of 5-HT_{1C} receptors, *Daniel Hoyer* 89
Regulation of smooth muscle proliferative phenotype by heparinoid–matrix interactions, *T. Scott-Burden and F. R. Bühler* 94
Genetic defects of human receptor function, *M. Dreyer and H. W. Rüdiger* 98
Desensitization of the nicotinic secretory response of adrenal chromaffin cells, *Philip D. Marley* 102

Books
The Art of Scientific Writing, *by Hans F. Ebel, Claus Bliefert and William E. Russey* 107
Inositol Lipids in Cellular Signaling, *edited by R. H. Michell and J. W. Putney* 108
Hormones, *edited by Anthony W. Norman and Gerald Litwack* 109
Advances in Drug Research Vol. 16, *edited by B. Testa* 109

APRIL

Interrelationship between Na^+ and Ca^{2+} metabolism in hypertension, *Alison Abbott* 111

News 113

Current Awareness
Multiple modes of protein kinase C regulation and their significance in signalling, *Martin L. Dreher and Michael R. Hanley* 114
Sympathetic purinergic transmission in small blood vessels, *G. Burnstock* 116
CNS disorders and calcium antagonists, *David Raeburn and Rueben A. Gonzales* 117
Now you'll start yawning and you won't know why, *Ivan Izquierdo* 119

Current Techniques
Liquid secondary ion MS: an emerging technique, *Simon J. Gaskell* 119

Computers
A generally useful modification of ALLFIT that facilitates the fitting of null equations to dose–response curves, *D. Mackay* 121

This and That: clots, creamers and canals, *B. Max* 122

Letters
May the force be with you, *David J. Miller* 124
Neurotransmission at the NMJ, *Ignaz Wessler* 125

Viewpoint
Is the anticonvulsant mechanism of valproate linked to its interaction with the cerebral γ-hydroxybutyrate system? *Philippe Vayer, Christopher D. Cash and Michel Maitre* 127

Reviews
How does adenosine inhibit transmitter release? *B. B. Fredholm and T. V. Dunwiddie* 130
Can supraspinal δ-opioid receptors mediate antinociception? *Julius S. Heyman, Jeffry L. Vaught, Robert B. Raffa and Frank Porreca* 134
Pharmacokinetic considerations in target-organ directed drug delivery, *M. J. A. P. Daemen, J. F. M. Smits, H. H. W. Thijssen and H. A. J. Struyker-Boudier* 138
FOCUS ON DISEASE: Drugs and the treatment of migraine, *Richard Peatfield* 141

Books
The Benzodiazepine Receptor, *by Walter E. Müller* 145
The Discovery of Insulin, *by Michael Bliss* 146
Scientists in Conference, *by V. Neuhoff* 147
Drugs and the Body, *by Robert M. Julien* 147
New Editions 148

MAY

New directions in asthma: some cause for concern, *Alison Abbott* 149

Current Awareness
Second messengers identified for insulin? *S. Ivar Walaas and Otto Walaas* 151
Benzodiazepine interaction with adenosine systems explains some anomalies in GABA hypothesis, *John W. Phillis and Michael H. O'Regan* 153
Increased free intracellular Ca^{2+} by toxic agents: an index of potential neurotoxicity? *Hannu Komulainen and Stephen C. Bondy* 154

Letters
Continuous variation of agonist affinity constants, *Dennis Mackay* 156
Single channel analysis costs time, *D. Colquhoun* 157
The widespread use of the geometric mean, *Ronald J. Tallarida* 158

Principles
Inhibition of radioligand binding to receptors: a competitive business, *George Tomlinson* 159

Viewpoint
α-Adrenoceptor subclassification by classical and response-related methods: same question, different answers, *John McGrath and Vincent Wilson* 162

Reviews
New cardiotonic agents – a promising approach for treatment of heart failure, *Bernd Wetzel and Norbert Hauel* 166
Interleukin 1: more than a mediator between leukocytes, *Michael Martin and Klaus Resch* 171
Cocaine interaction with central monoaminergic systems: electrophysiological approaches, *Joan M. Lakoski and Kathryn A. Cunningham* 177
HUMANS V. ANIMALS: Endothelium-dependent responses in human blood vessels, *Thomas F. Luscher and Paul M. Vanhoutte* 181

Books
Handbook for Lipid Research (Vol. 5): The Phospholipases, *by Mosley Waite* 184
Handbook for Drugs for Tropical Parasitic Infections, *by Lars L. Gustafsson, Björn Beerman and Yakoub Aden Abdi* 185
New Editions 185

JUNE

New targets for anti-ulcer drugs, *Brendan J. R. Whittle and Andrew Garner* 187

Drug Regulatory News 189

Current Awareness
Regulation of endocytic processes by pH, *Darrell J. Yamashiro and Frederick R. Maxfield* 190
Neuroprotective effects of adenosine, *M. Dragunow and R. L. M. Faull* 193
How environment affects conditioned drug tolerance, *Ivan Izquierdo* 195

Current Techniques
Controlled manipulation of the cell interior by pore-forming proteins, *G. Ahnert-Hilger and M. Gratzl* 195

This and That: deception and the stuff of dreams, *B. Max* 198

Letters
Prejunctional action of tubocurarine-like fade, *Ronald J. Bradley* 200
Alasdair Gibb replies 201

Principles
Concentration–response curves and receptor classification: null method or operational model? *Dennis Mackay* 202

Reviews
New environmental carcinogens in daily life, *Takashi Sugimura* 205
Adrenaline in the CNS and the action of antihypertensive drugs, *Carol Ward-Routledge and Charles A. Marsden* 209
Low-density lipoprotein: an old substance with a new function? *Lutz H. Block and Alfred Pletscher* 214
Polymethylene tetramines: a new generation of selective muscarinic antagonists, *Carlo Melchiorre* 216
HUMANS V. ANIMALS: Cryopreservation: a useful technique for storing tissues for pharmacological investigations, *Else Müller-Schweinitzer* 221

Books
The Isolated Hepatocyte: Use in Toxicology and Xenobiotic Transformation, *edited by E. J. Rauckman and G. M. Padilla* 224
Psychiatric Pharmacosciences of Children and Adolescents, *edited by Charles Popper* 224

JULY

Immunopharmacologists forge interdisciplinary links, *Karel Mašek* 225

Current Awareness
Radioligand binding studies identify 5-HT_3 recognition sites in neuroblastoma cell lines and mammalian CNS, *Keith J. Watling* 227
Endothelium-derived contracting factor: endothelin and/or superoxide anion? *Paul M. Vanhoutte and Zvonimir S. Katusic* 229
ACTH(4–10) and the neuromuscular junction, *Ivan Izquierdo* 230

Current Techniques
Validity of human brain autopsy samples for characterizing neurotransmitter function, *Christopher J. Fowler* 232

Letters
Peer review: time for a change? *Jonathan A. Stamford* 234
Promiscuity in pharmacology, *E. J. Ariëns* 235
Is low-density lipoprotein hormone-like? *M. Jacobs and K. R. Bruckdorfer* 235

Viewpoint
The relationship of α-adrenoceptor reserve and agonist intrinsic efficacy to calcium utilization in the vasculature, *Andrew J. Nichols and Robert R. Ruffolo, Jr* 236

Reviews
Mechanisms of chloroquine resistance in malarial chemotherapy, *Stephen A. Ward* 241
Binding of viral attachment protein to host-cell receptor: the Achilles heel of infectious viruses, *Thomas L. Lentz* 247
Binding constants for ligand–carrier complexes, *Rolf Brodersen, Bent Honoré, Anders O. Pedersen and Irving M. Klotz* 252

Ca^{2+} agonists: new, sensitive probes for Ca^{2+} channels, *Martin Bechem, Siegbert Hebisch and Matthias Schramm* 257
The fallacy of non-selectivity of radioactive ligands, *Wolfgang Schütz, Christian Nanoff and Michael Freissmuth* 261

Books
Asthma Reviews (Vol. 5), *edited by John Morley* 264
PDQ Pharmacology, *by Gordon E. Johnson* 265
Inhibition of Polyamine Metabolism: Biological Significance and Basis for New Therapies, *edited by Peter P. McCann, Anthony E. Pegg and Albert Sjoerdsma* 265
The Alpha-1 Adrenergic Receptors, *edited by R. R. Ruffolo* 266
Medical Toxicology, Diagnosis and Treatment of Human Poisoning, *by M. J. Ellenhorn and D. G. Barceloux* 267

AUGUST

Clinical psychopharmacology may benefit from new advances in 5-HT pharmacology, *Steven J. Cooper and Alison Abbott* 269

Current Awareness
'Inhibitory' receptors and ion channel effectors, *J. Adolfo García-Sáinz* 271
Endothelium-derived hyperpolarizing factor: a new endogenous inhibitor from the vascular endothelium, *Stephen G. Taylor and Arthur H. Weston* 272

Current Techniques
Transmitter release from brain slices elicited by single pulses: a powerful method to study presynaptic mechanisms, *Ernst A. Singer* 274

This and That: an odorless crime and the mystery of a poet's heart, *B. Max* 276

Letters
The 'forgotten' amino acid pyroglutamate, *Chantal Moret and Mike Briley* 278
Subtypes of adenosine receptors, *J. A. Ribeiro and A. M. Sebastião* 279
Fredholm and Dunwiddie reply 280
Caffeine interactions with multiple neurotransmitter systems, *Helen A. Baldwin and Sandra E. File* 280
Phillis and O'Regan reply 281

Viewpoint
Dopaminergic drugs in the cationic form interact with D_2 dopamine receptors, *Duane D. Miller, Marc Harrold, Raye Ann Wallace, Lane J. Wallace and Norman J. Uretsky* 282

Reviews
Three-dimensional structure–activity relationships, *Garland R. Marshall and Richard D. Cramer III* 285
New selective agonists for neurokinin receptors: pharmacological tools for receptor characterization, *Domenico Regoli, Guy Drapeau, Stéphane Dion and Réjean Couture* 290
Formation of toxic metabolites from drugs and other xenobiotics by glutathione conjugation, *Peter J. van Bladeren* 295
Basic carboxypeptidases: regulators of peptide hormone activity, *Randal A. Skidgel* 299

Books
Biologically Active Natural Products, *edited by K. Hostettmann and P. J. Lea* 305
Endorphins, Opiates and Behavioural Processes, *edited by R. J. Rodgers and S. J. Cooper* 305
Fundamentals of Endocrinology, *by W. Roy Slaunwhite, Jr* 306
Xenobiosis: Food, Drugs and Poisons in the Human Body, *by Adrien Albert* 307
New Edition 307

SEPTEMBER

Opioid receptors and signal transduction, *Laurie Haynes* 309

Current Awareness
L-Arginine is endogenous source of NO, *Michael Jacobs* 312
Is α-ANP primarily a natriuretic hormone? *John Brown** 312

***See Errata**

Letters
Pathophysiology of platelets, *Mark G. Florip and Sonia B. Jakowlew* 314
Nicotinic receptors and the elusive β, *Barry W. Madsen and Robert O. Edeson* 315
Interpreting non-competitive inhibition, *Hubert Rehm and Cord-Michael Becker* 316
George Tomlinson replies 317
Stereophobia: an economic afterthought, *E. J. Ariëns* 317

Viewpoint
Enhancement of acetylcholinesterase synthesis by glycyl-L-glutamine: an example of a small peptide that regulates differential transcription? *George B. Koelle* 318

Reviews
Genotoxic and epigenetic chemical carcinogenesis: one process, different mechanisms, *W. K. Lutz and P. Maier* 322
Pharmacological approaches to the modulation of plasma cholesterol, *James Shepherd and Christopher J. Packard* 326
The role of descending inhibition in morphine-induced analgesia, *Claire Advokat* 330
Neuropharmacology of emesis induced by anti-cancer therapy, *P. L. R. Andrews, W. G. Rapeport and G. J. Sanger* 334

Books
The Molecular Biology of Receptors: Techniques and Application of Receptor Research, *edited by A. D. Strosberg* 341
Molecular Mechanisms of Drug Action, *by Christopher J. Coulson* 342
New Editions 342

OCTOBER

Ion movement and contractility in heart cells, *James G. McCormack, Mark R. Boyett, Brian R. Jewell and Clive H. Orchard* 343

Current Awareness
Adenosine and gastric function, *V. S. Westerberg and J. D. Geiger* 345
Neuromelanin-containing neurons are selectively vulnerable in parkinsonism, *J. W. Langston* 347

Current Techniques
Catecholamine and 5-HT synthesis *ex vivo* as an index of *in-vivo* neuronal activity and regulation, *Andy M. Broadhurst and Mike Briley* 349

Letters
K_{RAX} as parameter for classification, *Paul H. Morgan, Michael W. Lutz and Terry P. Kenakin* 351
Synaptic depression and adenosine, *H. G. E. Lloyd, I. Spence and G. A. R. Johnston* 352
Further promiscuity of phenylalkylamine Ca^{2+} antagonists, *Francis V. DeFeudis* 352

This and That: thanatomimetics, bradychronotoxins, osmocides and ototoxins, *B. Max* 353

Viewpoint
Subtypes of α_2-adrenoceptors: pharmacological and molecular biological evidence converge, *David B. Bylund* 356

Reviews
Tolerance to the anticonvulsant effect of benzodiazepines, *Jeremy R. M. Haigh and Morgan Feely* 361
Endogenous opioid peptides and epilepsy: quieting the seizing brain? *Frank C. Tortella* 366
Antibodies: a rich source of novel chemical agents for pharmacological studies, *C. Edgar Cook and Dennis E. Drayer* 373
Recent developments in the chemotherapy of leishmaniasis, *Simon L. Croft* 376

Books
Ion Channels (Vol. 1), *edited by Toshio Narahashi* 382
Liposomes as Drug Carriers: Recent Trends and Progress, *edited by Gregory Gregoriadis* 382
New Editions 383

NOVEMBER

The future for receptor classification? *Jim Docherty, Kevin O'Malley and John Waddington* 385

Current Awareness
Multiple B_2 kinin receptors in mammalian tissues, *Robin Plevin and P. Jane Owen* 387
Dopamine receptors and intraocular pressure, *Tom A. Karnezis and Michael B. Murphy* 389

Current Techniques
Distance geometry: a tool in receptor mapping, *Gabriëlle M. Donné-Op den Kelder* 391

Letters
Energetics of conformational changes in receptors, *Frederick J. Ehlert* 393
Ca^{2+} agonists/antagonists and GTP, *A. C. Dolphin and R. H. Scott* 394

Principles
Analysis of agonist action using the operational model, *Paul Leff* 395

Reviews
Resolution of the identity of the Ca^{2+}-antagonist receptor in skeletal muscle, *Pal L. Vaghy, Edward McKenna, Kiyoshi Itagaki and Arnold Schwartz* 398
DNA as a target for drug action, *Laurence H. Hurley and F. Leslie Boyd* 402
Thermodynamic aspects of drug–receptor interactions, *Robert Hitzemann* 408
Muscarinic receptor subtypes in airways, *Peter J. Barnes, Philippe Minette and Jennifer Maclagan* 412
Molecular sites for diuretic action, *Bruce M. Hendry and J. Clive Ellory* 416

Books
Phenylpropanolamine: A Review, *by Louis Lasagna* 421
Receptor and Ligands in Neurological Disorders, *edited by Amar K. Sen and Tyrone Lee* 422
Receptors and Ligands in Psychiatry, *edited by Amar K. Sen and Tyrone Lee* 422

DECEMBER

5-HT receptor subtype-specific drugs and the cardiovascular system, *Dicky van Heuven-Nolsen* 423

Current Awareness
Hirudins and the role of thrombin: lessons from leeches, *Robert B. Wallis* 425
Basic fibroblast growth factor as a wound healing hormone, *Lothar Schweigerer* 427
The amygdala and the Prince of Denmark, *Ivan Izquierdo* 429

Letters
Why we might as well forget pyroglutamate as an amino acid, *Halvor N. Christensen* 430
Support for 'hormone-like' actions of LDL, *L. H. Block and A. Pletscher* 431
Mechanisms of action of the new cardiotonic drugs, *David M. Paton and Janine M. Manuel* 431
Hauel *et al.* reply 432

This and That: neither epinephrine nor adrenaline, *B. Max* 432

Special Feature
The "pharmacological toolmaker's" rational approach to drug design: an appreciation of Sir James Black, *V. Paul Gerskowitch, Robert A. D. Hull and Nigel P. Shankley* 435
The excitement of a suspense story, the beauty of a poem: the work of Hitchings and Elion, *Alfredo Giner-Sorolla* 437

Reviews
The influence of clinical adrenoceptor antagonism on plasma lipid profiles, *Henry Elliott* 439
A pleiotropic response associated with resistance of breast cancer cells to antineoplastic drugs and hormonal agents, *Philip J. Vickers, Robert B. Dickson and Kenneth H. Cowan*† 443
New synthetic immunomodulating agents, *Vassil St Georgiev* 446
Neurochemical interactions of cocaine with dopaminergic systems, *Matthew P. Galloway* 451
HUMANS V. ANIMALS: Vascular smooth muscle responses in pregnancy-induced hypertension, *Anthony B. Ebeigbe and M. Ezimokhai* 455

Books
Alternatives to Animal Use in Research, Testing and Education, *compiled by Congress of the United States, Office of Technology Assessment* 457
Prostaglandins: Biology and Chemistry of Prostaglandins and Related Eicosanoids, *by P. B. Curtis-Prior* 458
The Melanotropins: Chemistry, Physiology and Mechanisms of Action, *by A. N. Eberle* 458

Errata

Neurochemistry: A Practical Approach, *edited by A. J. Turner and H. S. Bachelard* (January, pp. 40–41) 148
Is α-ANP primarily a natriuretic hormone? *by John Brown* (September, pp. 312–313) 390

† The authors' names were spelt incorrectly in the article